

PATENT COOPERATION TREATY

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From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

APR 05 2004

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BY mz

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Rule 71.1)

To:

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Date of mailing
(day/month/year) 29.03.2004

Applicant's or agent's file reference 02-1288		IMPORTANT NOTIFICATION	
International application No. PCT/US 03/00768	International filing date (day/month/year) 09.01.2003	Priority date (day/month/year) 10.01.2002	
Applicant THE PENNSYLVANIA STATE RESEARCH FOUNDATION et al.			

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.



4. REMINDER

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

<p>Name and mailing address of the international preliminary examining authority:</p> <p> European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465</p>	<p>Authorized Officer</p> <p>Mazzariol, F</p> <p>Tel. +49 89 2399-7911</p>	<p></p>
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PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT (PCT Article 36 and Rule 70)

Applicant's or agent's file reference 02-1288	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/US 03/00768	International filing date (day/month/year) 09.01.2003	Priority date (day/month/year) 10.01.2002
International Patent Classification (IPC) or both national classification and IPC C07F5/02		
Applicant THE PENNSYLVANIA STATE RESEARCH FOUNDATION et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.



2. This REPORT consists of a total of 7 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the opinion
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☒ Lack of unity of invention
- V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 04.06.2003	Date of completion of this report 29.03.2004
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Bork, A-M Telephone No. +49 89 2399-8311 

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/US 03/00768**

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-37 as originally filed

Claims, Numbers

1-17 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/US 03/00768**

IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees, the applicant has:

- ☐ restricted the claims.
- ☒ paid additional fees.
- ☐ paid additional fees under protest.
- ☐ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
- ☐ not complied with for the following reasons:

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

- ☒ all parts.
- ☐ the parts relating to claims Nos. .

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	
	No: Claims	1-17
Inventive step (IS)	Yes: Claims	
	No: Claims	1-17
Industrial applicability (IA)	Yes: Claims	1-17
	No: Claims	

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/US03/00768

The present application concerns a method for the preparation of complexed diarylborinic acids by the reaction between an alkyl diarylborinate and a complexing agent (claims 1-8), compounds prepared by this method (claims 15,17), a method for the preparation of alkyl diarylborinate compounds by reacting a trialkylborate with a metalloorganic reagent (claims 9-14) and compounds prepared by this method (claim 16).

Reference is made to the following documents:

- D1: ZHANG, G., YOUJI HUAXUE 1982, 6, pages 409-412
- D2: HAWTHORNE, J.AMER.CHEM.SOC., 1958, vol. 80, pages 4291-4296
- D3: MICHAILOW, W., IZV.AKAD.NAUK SSSR SER.KHIM, 1956, pages 451-453
- D4: PEYTON, J., J.ORGANOMET. CHEM., 1978, vol. 156, pages 101-110
- D5: WO-A-00 75142

Re Item IV

Lack of unity of invention

The application, it was considered, is to be seen as made up of the following two separate inventions:

- 1. Claims 1-8,15,17:** The preparation of compounds of formula I as described in claims 1-8 and the compounds of formula I as in claims 15,17.
- 2. Claims 9-14,16:** The preparation of compounds of formula III as described in claims 9-14 and the compounds of formula III as in claim 16.

In response to the invitation to pay additional examination fee for invention 2, an additional examination fee was paid. Therefore, this written opinion covers the whole claimed subject-matter.

Re Item V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability: citations and explanations supporting such statement

Invention 1

a) Claim 15 refer to known compounds defined by their preparation method.

"Product-by-process" claims in general have to be interpreted in an absolute sense, i.e. independently of the process. Therefore, if the novelty of a "product-by-process" claim is at issue, novelty has to be examined and assessed independently of the potential novelty of the process.

b) **D1** (see abstract and on page 410, compounds 5-15 and reaction scheme) discloses the preparation of diarylboron complexes by the reaction between butyl diarylborinates and a complexing agent (ethanolamine and different α -amino acids). The prepared diarylboron complexes have been tested as antitumour compounds.

In view of document D1 the subject-matter of claims 1-3,15 does not meet the requirements of Art. 33(2) PCT.

c) The compounds disclose in **D5** (page 17, lines 16-21; page 38, compound III168; page 39, compound III170; page 43, compounds (1) to (5)) affect the novelty of claims 15,17.

In view of documents D1 and D5 the subject-matter of claims 1-8,15 and 17 does not meet the requirements of Art. 33(2) and 33(3) PCT.

Certain published documents (Rule 70.10)

Application No Patent No	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO 02/44184 =D6	6.06.2002	29.11.2001	30.11.2000

Document **D6** published between the priority date of the present application (9.01.02) and its filing date (9.01.03), will constitutes prior art in the sense of Art.54(2) EPC, in the regional European phase, for presently claimed matter not based upon a valid priority.

right.

Moreover, D6 will also constitute prior art under Art. 54(3) EPC in the regional European phase. With regard to the disclosure of D6 (see page 6, line 27 - page 7, line 15 and claim 2), the subject-matter of claim 17 does not meet the requirements of Art. 54(3) EPC.

Invention 2

a) Claim 16 refer to known compounds defined by their preparation method. "Product-by-process" claims in general have to be interpreted in an absolute sense, i.e. independently of the process. Therefore, if the novelty of a "product-by-process" claim is at issue, novelty has to be examined and assessed independently of the potential novelty of the process.

b) D2 discloses the preparation of n-butyl di-(p-chlorophenyl)-borinate (page 4295, left-hand column, last paragraph) and of n-butyl di-(p-tolyl)-borinate (page 4295, right-hand column, 4th paragraph) by the reaction between the corresponding trialkyl borates and a Grignard reagent.

c) D3 (see page 451, first equation; page 452, last paragraph; page 454, second paragraph and page 455, second paragraph) discloses the preparation of three alkyl diarylborinates by the reaction between the corresponding trialkyl borates and a Grignard reagent.

d) D4 (page 104, eq. 11 and page 107, last paragraph) discloses the preparation of methyl diphenylborinate by the reaction between trimethylborate with phenylmagnesium bromide with formation of boronic acid, which is further esterified with methanol.

In view of documents D2-D4 the subject-matter of claims 9, 11-14 and 16 does not meet the requirements of Art. 33(2) and 33(3) PCT.

Further objections

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/US03/00768

- a) The reference to serial number at page 5, first line should be replaced by reference to the corresponding published document.
- b) If the Applicants wish to incorporate the documents mentioned for instance on page 4, last line and on page 5, first line, the disclosure thereof should expressis verbis be included in the description under the conditions set out in the PCT Guidelines C-II, 4.18, or the partial phrase "incorporated herein" should be deleted. The same applies on page 21, 3rd paragraph.
- c) An error seems to have occurred in claim 3, where reference is made to compounds of formula III. Correction should be made according to claim 1 and to the description.
- d) The claims should be renumbering insofar the claim 10 is missing.
- e) The statement in the description on page 21, last paragraph and on page 37 implies that the subject-matter for which protection is sought may be different from the area defined by the claims. Said statement should, therefore, be removed in order to bring the description in line with the claims (PCT Guidelines C-III, 4.3a).